

PATENT ATTORNE CKET NO: 06666/005001

## What is claimed:

5 comprising:

providing compounds of formula 13 and formula 14

where  $R^1$  and  $R^2$  are each independently selected from the group consisting of hydrogen, alkyl, cycloalkyl, aryl, heteroaryl, acyl, acylalkyl, carboxy, carboxamido, trialkylsilyl, aryldialkylsilyl, diarylalkylsilyl, triarylsilyl, phosphinyl, and -YR, where Y is selected from the group consisting of -O-,  $-NR_a$ -, -S-, -SO-, and  $-SO_2$ -, and R and  $R_a$  are each independently selected from the group consisting of hydrogen, alkyl, aryl, heteroaryl, and acyl, or  $R^1$  and  $R^2$  together form a methylene bridge of 2 to 20 carbon atoms; and

where  ${\bf R}^3$  and  ${\bf R}^4$  are each independently selected from the group consisting of hydrogen, carboxy,

carboxamido, alkyl, cycloalkyl, aryl and heteroaryl; providing a compound of formula 15 or a compound of formula 19

where R<sup>5</sup> is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, alkynyl and allenyl; R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> are selected from the group

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consisting of hydroxy, alkoxy, aryloxy, heteroaryloxy, chloro, bromo, fluoro, iodo, carboxy, amino, alkylamino, dialkylamino, acylamino, carboxamido, thio, alkylthio, arylthio, acylthio, alkyl, cycloalkyl, aryl, and heteroaryl, or together form a methylene bridge of 3 to 7 atoms; X is a positive counter ion, and n is 0 or 1; and

contacting said compounds of formula 13, formula 14, and formula 15 or 19 to form a reaction mixture.

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- 2. The process of claim 1, wherein said reaction mixture further comprises a Lewis acid.
  - 3. The process of claim 1, wherein  $R^6$  and  $R^7$  are each -OR.
- 4. The process of claim 3, wherein n is 1,  $R^8$  is F, and said reaction mixture further comprises a compound of the formula  $SiR^9R^{10}R^{11}R^{12}$ , where  $R^9$  is selected from the group consisting of halo, alkoxy, acyloxy, triflate, alkylsulfonate and arylsulfonate, and  $R^{10}$ ,  $R^{11}$ , and  $R^{12}$  are each independently selected from the group consisting of alkyl, cycloalkyl, aryl, alkoxy, aryloxy and chloro.
  - 5. The process of claim 1, wherein  $R^{3}$  is carboxy.
  - 6. The process of claim 1, wherein R<sup>5</sup> is alkenyl.
  - 7. The process of claim 1, wherein R<sup>2</sup> is adylalkyl.
  - 8. The process of claim 7, wherein R<sup>5</sup> is alkebyl.
- 9. The process of claim 1, wherein R<sup>3</sup> is selected from the group consisting of aminoalkyl, alkylamino-alkyl, dialkylamino-alkyl, and arylamino-alkyl.
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10. The process of claim 1, wherein R<sup>3</sup> is hydroxyalkyl



A process for generating a combinatorial library, said process comprising:

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the group consisting of hydrogen, alkyl, cycloalkyl, aryl, heteroaryl, acyl, acylalkyl, carboxy, carboxamido, trialkylsilyl, aryldialkylsilyl, diarylalkylsilyl, triarylsilyl, phosphinyl, and -YR, where Y is selected from the group consisting of -O-,  $-NR_a-$ , -S-, -SO-, and  $-SO_2-$ , and R and  $R_a$  are each independently selected from the group consisting of hydrogen, alkyl, aryl/heteroaryl, and acyl, or  $R^1$  and R<sup>2</sup> together form a methylene bridge of 2 to 20 carbon atoms; and

where  $R^1$  and  $R^2$  are each independently selected from

where  $R^3$  and  $R^4$  are each independently selected from the group consisting of hydrogen, carboxy,

carboxamido, alkyl, cycloalkyl, aryl, and heteroaryl; providing a compound of formula 15 or a compound of formula 19

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where R<sup>5</sup> is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, alkynyl and allenyl; R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> are selected from the group consisting of hydroxy, alkoxy, aryloxy, heteroaryloxy, chloro, bromo, fluoro, iodo, carboxy, amino, alkylamino, dialkylamino, acylamino, carboxamidà thio, alkylthio, arylthio, acylthio, alkyl, cycloalkyl, aryl, and heteroaryl, or together form a



methylene bridge of 3 to 7 atoms; X is a positive counter ion, and n is 0 or 1; and contacting said compounds of formula 13, formula 14, and formula 15 or 19 to form a reaction mixture

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12. A combinatorial library prepared by the process of claim

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13. A process for preparing an ACE inhibitor, said process comprising:

providing a compound of the form aa-proline, where aa is selected from the group consisting of alanine and lysine; contacting said alanine-proline with 2-phenethyl boronic acid and glyoxalic acid in water to form an alkene product;

reducing said alkene product by catalytic hydrogenation to form said ACE inhibitor.

- 14. The process of claim 13 wherein aa is alanine.
- 15. The process of claim 13 wherein aa is lysine.
- 16. A process for preparing an amino sugar, comprising: providing a sugar;

contacting said sugar with 1,1-di(p-anisyl)methylamine and 2-phenethyl boronic acid to form an aminated alkene product;

treating said aminated alkene product with ozone and methyl sulfide to form an amino sugar.

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17. The process of claim 16, wherein said sugar is Darabinose.

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